Quin-AD(OMe)-FMK M.Wt:389

FIGURE 1A

Quin-VAD(OMe)-FMK M.Wt:488; C24H19N4O6F

FIGURE 2

FIGURE 2A

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	_							
- (\sim	2	c	n	2	c	Δ	9

inh conc	log of con	% inhib	Q-(C=O)-VD(OMe)-CH ₂ -ASA
0.005uM 0.01uM .025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM	-2.301 -2 -1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.398	0 0 0 0 0 16.2 21.8 47.4 62 82.4	100 80 60 40 20
50uM	1.6989	⁼ 92.6	-B -2 -1 -20 0 1 2 log of conc. in uM

FIGURE 9

Caspase 8

inh conc	log of con	% inhib	Q-(C=O)-VD(OMe)-CH ₂ -ASA
0.005uM 0.01uM .025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM 50uM	-2.301 -2 -1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.398 1.6989	0 0 0 0 4.7 5.5 21.1 45.5 73.6 96.8 = 99.8	120 100 80 60 40 20 3 2 1 20 0 1 2 log of conc. in uM

Caspase 1

inh conc	log of con	% inhib
.025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM 50uM	-1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.398 1.6989	0 0 18.2 34.8 69.7 100 100

Q-(C=O)-VD(OMe)-CH₂-ASA

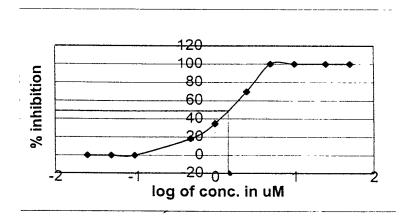
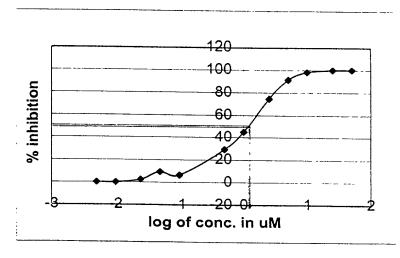


FIGURE 11

Caspase 3

inh conc	log of con	% inhib
0.005uM	-2.301	0
0.01uM	-2	0
.025uM	-1.602	2.3
.05uM	-1.301	9.1
.1uM	-1	6.4
0.5uM	-0.301	29.3
1uM	0	45
2.5uM	0.3979	74.8
5uM	0.6989	91.5
10uM	1	98.2
25uM	1.398	100
50uM	1.6989	⁼ 100

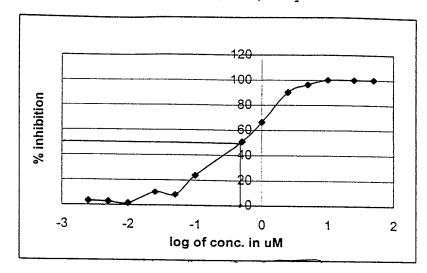
Q-(C=O)-VD(OMe)-CH₂-ASA



Caspase 1

inh conc	log of con	% inhib
.0025uM	-2.602	3.14
.005uM	-2.301	2.6
.01uM	-2	1.4
.025uM	-1.602	10.3
.05uM	-1.301	8.3
.1uM	-1	23.7
0.5uM	-0.301	50.9
1uM	0	66.29
2.5uM	0.3979	90.3
5uM	0.6989	96.3
10uM	1	100
25uM	1.3979	100
50uM	1.6979	100

$Indole-(C=O)-VD(OMe)-CH_2-OPh$

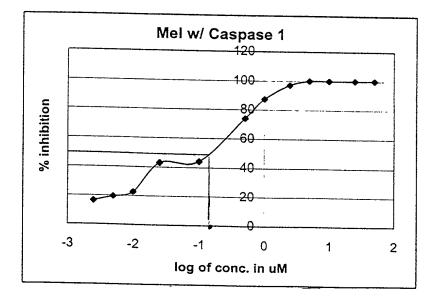


Caspase 1

inh conc	log of con	% inhib
.0025uM	-2.602	16.3
.005uM	-2.301	19.4
.01uM	-2	22.6
.025uM	-1.602	42.86
.1uM	-1	44
0.5uM	-0.301	74
1uM	0	87.4
2.5uM	0.3979	97.1
5uM	0.6989	100
10uM	1	100
25uM	1.3979	100
50uM	1.6979	100

FIGURE 13

Melatonin-VD(OMe)-CH2-OPh



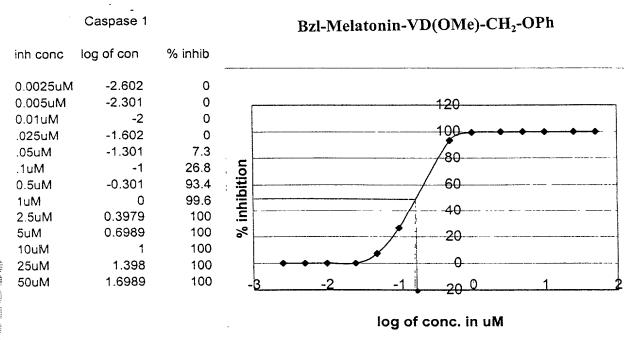
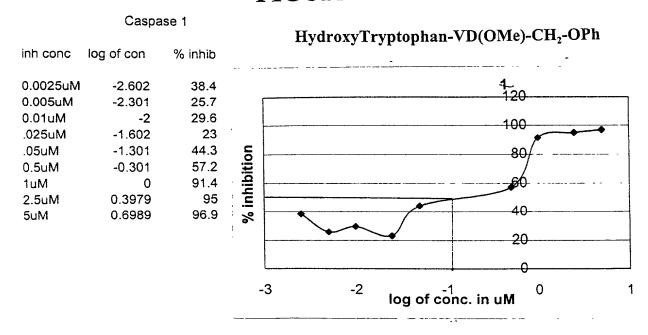


FIGURE 15



Caspase 1

TRP-VD(OCH₃)-CH₂-OPh · TFA

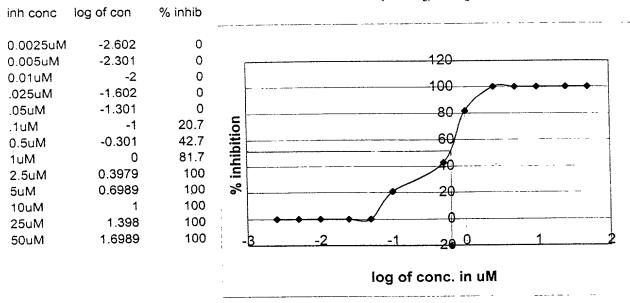


FIGURE 17A

Caspase 9

inh conc	log of con	% inhıb
.025uM	-1.602	33 6
.05uM	-1.301	43.9
.1uM	-1	58.7
0.5uM	-0.301	90 7
1uM	0	94.7
2.5uM	0.3979	100
5uM	0 6989	100
10uM	1	100
25uM	1 3979	100
50uM	1.6979	100

Q-(C=O)-L-D-(OMe)-CH₂-F (the FMK)

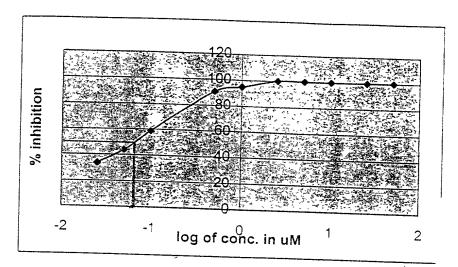


FIGURE 17B

Caspase 9

of the last that the the test that the test the test that the test the test that the test th			-2 -1 log of conc. in uM 1 2
Hand there are the transfer of the there is		Cas	FIGURE 17B
ii inh conc	log of con	% inhib	Q-(C=O)-L-D-(OMe)-CH ₂ -F (the FMK)
.025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM 50uM	-1 602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.3979 1.6979	25 7 37.3 58.9 88.9 94.9 96.1 100 100	120 100 80 100 60 100 20 -2 -1 log of cohc. in uM 1 2

FIGURE 18A

Caspase 9

an conc	log of con	% inhib
.025uM	-1.602	47 3
.05uM	-1.301	64.4
.1uM	-1	81.2
0.5uM	-0.301	97.8
1uM	0	99.5
2.5uM	0 3979	100
5uM	0.6989	100
10uM	1	100
25uM	1.3979	100
50uM ,	1.6979	100

$Q-(C=O)-V-D-(OCH_3)-CH_2-F$ (the FMK)

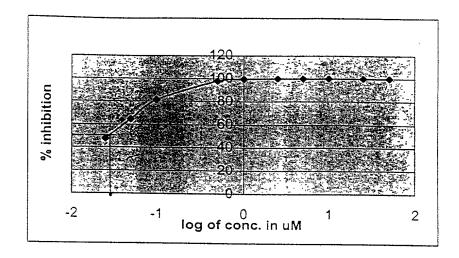


FIGURE 18B

Caspase 9

1		
5 1775 1 1245		
5.0		
1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1		C
1 2		0.6
[_2	_	
inh conc	log of con	% innib
.025uM	-1 602	62.2
.05uM	-1.301	76 3
.1uM	-1	81.3
0.5uM	-0.301	99.1
1uM	0	100
2.5uM	0.3979	100
5uM	0.6989	100
10uM	1	100
25uM	1 3979	100
		-
50uM	1 6979	100

Q-(C=O)-V-D-(OCH₃)-CH₂-F (the FMK)

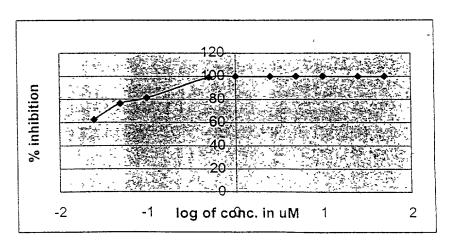


FIGURE 19

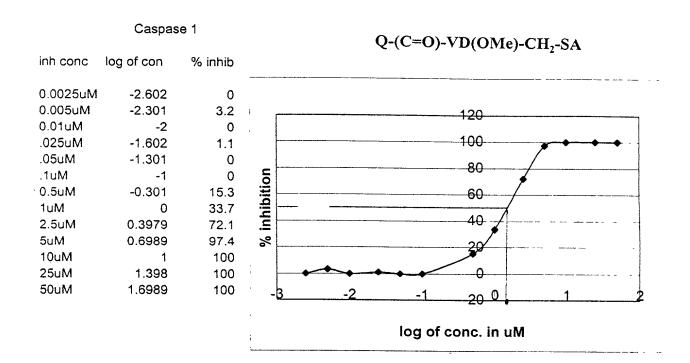


FIGURE 20

Caspase 3

log of con	% inhib		Q-(C=O)-VD(OMe)-CH ₂ -SA
-2.301	0		
-2	0		
-1.602	0.57	٢	120
-1.301	2.8		100
-1	18.3		
-0.301	32.4	. <u>ō</u> ⊦	80
0	54.7	bit	60 /
0.3979	87.8	三	10
0.6989	97.6		40/
1	99.7	% ⊦	20-
1.398	100		
1.6989	² 100		
		-ਤ	1 20 0 1 2
			log of conc. in uM
	-2.301 -2 -1.602 -1.301 -1 -0.301 0 0.3979 0.6989 1 1.398	-2.301 0 -2 0 -1.602 0.57 -1.301 2.8 -1 18.3 -0.301 32.4 0 54.7 0.3979 87.8 0.6989 97.6 1 99.7 1.398 100	-2.301 0 -2 0 -1.602 0.57 -1.301 2.8 -1 18.3 -0.301 32.4 in the second of the second o

Q-(C=O)-L-D-CH₂-OPh

Caspase 1

inh conc	log of con	% inhib	
.025uM	-1 602	19	
.020dM	-1 301	22	
.1uM	-1	19	
0.5uM	-0 301	46 7	
1uM	0	69.5	
2.5uM	0 3979	92 7	
5uM	0 6989	98 5	
10uM	1	87.3	

	% inhib	log of con
% inhibition	19 22 19 46 7 69.5 92 7 98 5 87.3	-1 602 -1 301 -1 -0 301 0 0 3979 0 6989 1
,		

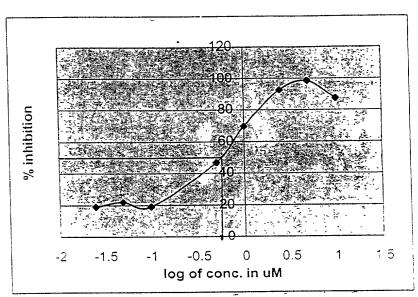
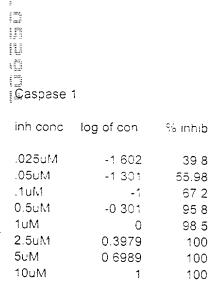


FIGURE 22

Q-(C=O)-V-D-CH₂-OPh



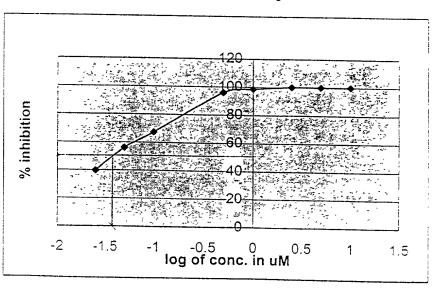


FIGURE 25A

Non esterase treated Inhibitor D with Caspase 3

Q-(C=O)-L-D-(OMe)- $\mathrm{CH_2}$ -F

inh conc	log of con	% inhib
.025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM	-1.602 -1 301 -1 -0 301 0 0 3979 0 6989	37.8 52 73 100 100 100
25uM 50uM	1 3979 1.6979	100 100

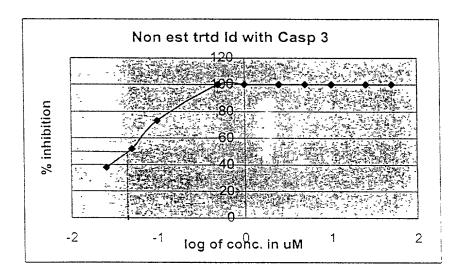


FIGURE 25B

Esterase treated Inhibitor D with Caspase 3

$Q-(C=O)-L-D-(OMe)-CH_2-F$

inh conc	log of con	% inhib
.025uM	-1 602	38.2
.05uM	-1.301	68.9
.1uM	-1	80.7
0.5uM	-0 301	97.6
1uM	0	96.6
2.5uM	0 3979	96.2
5uM	0.6989	100
25uM	1 3979	100
50uM	1.6979	100

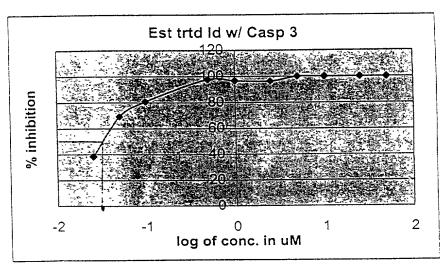


FIGURE 23

Esterase treated Inhibitor C with Caspase 1

inh conc	log of con	% inhib
.025uM .05uM .1uM 0.5uM 1uM 2.5uM 5uM 10uM 25uM 50uM	-1.602 -1.301 -1 -0 301 0 0.3979 0.6989 1 1.3979 1.6979	40.1 54.9 73.2 81.7 100 100 100 100

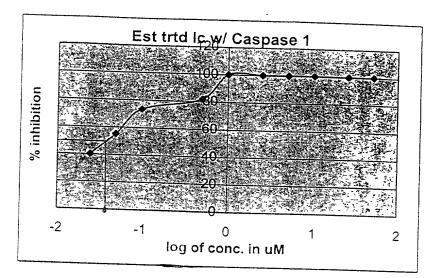


FIGURE 24

Esterase treated Inhibitor D with Casp 1

inh conc	log of con	°% inhib
.025uM .05uM .1uM 0.5uM 2.5uM 5uM 10uM 25uM 50uM	-1.602 -1.301 -1 -0.301 0 3979 0 6989 1 1.3979 1 6979	0 33.8 63.4 85.2 85.2 100 100

est trtd ld w/ Casp 1 120 80 60 20 10g of conc. in uM

Q-(C=O)-L-D-(OMe)- $\mathrm{CH_2}$ -F

14/16 **FIGURE 26**

Q-LD-OPh

0-05.24	-1.301	5.5
0.124	-1	11
0.5 WM	-0.301	46
Luk	0	68
2.5.ny	0.3979	86.8
5 44	0.6989	94.5
10 in 14	1	100
25 «M	1.3979	100
50.WM	1.6989	100

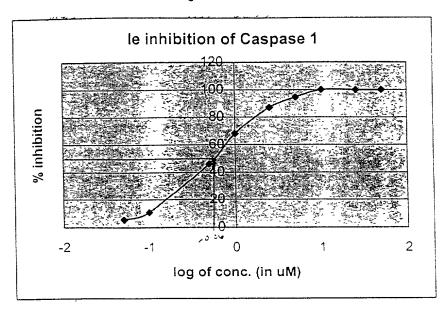
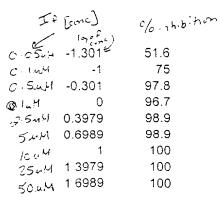


FIGURE 27

Q-VD-OPh



		ir innig	ition c	or Casp	base 1	
% inhibition			120 80 60 20			
	-2	<u> </u>	0	0 0	<u> </u>	<u>ا جُنْہُ جُنْہُ</u> 2

Caspase 3 w/ IE -

Q-(C=O)-LD-CH₂-O-Ph

inh conc	log of con	% inhib	
.025uM	-1.602	31.85	
.05uM	-1.301	47.1	
.1uM	-1	59.2	
0.5uM	-0.301	96.2	
1uM	0	100	
2.5uM	0.3979	100	
5uM	0.6989	100	
25uM	1.3979	100	
50uM	1.699	100	

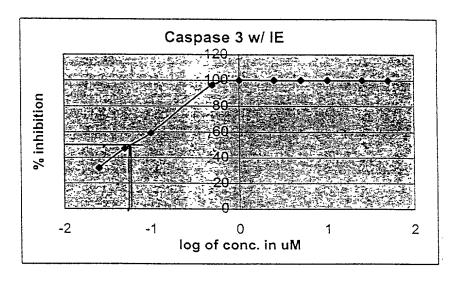


FIGURE 28

$H_{JN} - C - 0$ CH_{2} CH_{3} CH_{4} CH29 $\begin{array}{c} \begin{pmatrix} 0 & C & O \\ C & O & C \\ C & C & C \end{pmatrix} \\ C & C & C \end{pmatrix} \\ \begin{pmatrix} C & C \end{pmatrix} \\ C & C \end{pmatrix} \\ \begin{pmatrix} C & C \end{pmatrix} \\ C & C \end{pmatrix} \\ \begin{pmatrix} C & C \end{pmatrix} \\ \begin{pmatrix} C & C \end{pmatrix} \\ C & C \end{pmatrix} \\ \begin{pmatrix} C & C \end{pmatrix} \\ C & C \end{pmatrix} \\ \begin{pmatrix} C & C \end{pmatrix} \\ C & C \end{pmatrix} \\ C & C \end{pmatrix} \\ \begin{pmatrix} C & C \end{pmatrix} \\ C & C \end{pmatrix}$ $IIJ) \quad C \stackrel{O}{=} 0$ $H_3N - C - H$ CH_2 CH_3 CH_2 CH_3 CH_2 CH_3 CH_3 CH_3 CH_3 CH_4 CH_3 CH_4 CH_3 CH_4 $\begin{array}{c} C = 0 \\ C = 0 \\ 0 \\ 1 \\ 1 \\ 1 \\ 1 \end{array}$ $\begin{array}{c} C + 1 \\ C + 2 \\ C + 2 \\ C + 2 \\ C + 3 \\ C + 4 \\ C +$ $\begin{array}{cccc} C & O & O & O \\ C & O & O & O \\ O &$ $\begin{array}{ccc} & & & & & & & & & \\ & & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\$ IMPORTANT AMINO ACIDS L-Cystine (Cys-S-S-Cys) $\begin{pmatrix} c & 0 \\ c & 0 \\ 0 & 1 \\ 0 & 1 \\ 0 & 1 \\ 1 & 1 \\ 0$ $\begin{array}{c} C = 0 \\ C = 0 \\ O = 1 \\$ L·Cysteine (Cys·SH) L-Threonine (Thre) L-Atanine (Ata) $II \int_{0}^{c^{0}} c^{0} = 0$ $H_{3}N - c - H$ $CH_{2} - OH$ L-Aspartic acid (Asp) (H) C € 0 (H) C C − H (H) C − C − H (CH) C − H (CH) C − C − H L - Serine (Ser) Gycine (Gly)